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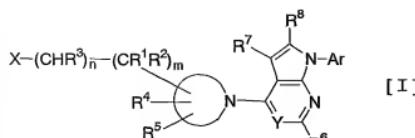
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(54) Title: PYRROLOPYRIMIDINE AND PYRROLOPYRIDINE DERIVATIVES SUBSTITUTED WITH CYCLIC AMINO GROUP



inflammation, immunity-related diseases, alopecia, irritable bowel syndrome, sleep disorders, epilepsy, dermatitides, schizophrenia, etc. [SOLUTION] A pyrrolopyrimidine or pyrrolopyridine derivative substituted with a cyclic amino group represented by the following formula [I] has a high affinity for CRF receptors and is effective against diseases in which CRF is considered to be involved.

(57) Abstract: An object of the present invention is to provide an antagonist against CRF receptors which is effective as a therapeutic or prophylactic agent for diseases in which CRF is considered to be involved, such as depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastric diseases, drug dependence, epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, cephalic external wound, etc.

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DESCRIPTION

PYRROLOPYRIMIDINE AND PYRROLOPYRIDINE DERIVATIVES
SUBSTITUTED WITH CYCLIC AMINO GROUP

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[DETAILED DESCRIPTION OF THE INVENTION]

[TECHNICAL FIELD]

The present invention relates to a therapeutic agent for diseases in which corticotropin releasing factor (CRF) is considered to be involved, such as depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastral diseases, drug dependence, cerebral infarction, cerebral ischemia, cerebral edema, cephalic external wound, inflammation immunity-related diseases, alopecia, irritable bowel syndrome, sleep disorders, epilepsy, dermatitides, schizophrenia, etc.

[DESCRIPTION OF THE PRIOR ART]

CRF is a hormone comprising 41 amino acids (Science, 213, 1394-1397, 1981; and J. Neurosci., 7, 88-100, 1987), and it is suggested that CRF plays a core role in biological reactions against stresses (Cell. Mol. Neurobiol., 14, 579-588, 1994; Endocrinol., 132, 723-728, 1994; and Neuroendocrinol. 61, 445-452, 1995). For CRF, there are the following two paths: a path by which CRF acts on peripheral immune system or sympathetic nervous system through hypothalamus-pituitary-adrenal system, and a path by which CRF functions as a neurotransmitter in central nervous system (in Corticotropin Releasing Factor: Basic and Clinical Studies of a Neuropeptide, pp. 29-52, 1990). Intraventricular administration of CRF to hypophysectomized rats and normal rats causes an anxiety-like symptom in both types of rats (Pharmacol. Rev., 43, 425-473, 1991; and Brain Res. Rev., 15, 71-100, 1990). That is, there are suggested the

participation of CRF in hypothalamus-pituitary-adrenal system and the pathway by which CRF functions as a neurotransmitter in central nervous system.

The review by Owens and Nemeroff in 1991 summarizes diseases in which CRF is involved (Pharmacol. Rev., 43, 425-474, 1991). That is, CRF is involved in depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastrointestinal diseases, drug dependence, inflammation, immunity-related diseases, etc. It has recently been reported that CRF is involved also in epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, and cephalic external wound (Brain Res. 545, 339-342, 1991; Ann. Neurol. 31, 48-498, 1992; Dev. Brain Res. 91, 245-251, 1996; and Brain Res. 744, 166-170, 1997). Accordingly, antagonists against CRF receptors are useful as therapeutic agents for the diseases described above.

WO02/002549 and WO00/053604 disclose pyrrolopyridine and pyrrolopyrimidine derivatives respectively as CRF receptor antagonists. Bioorganic & Medicinal Chemistry 10 (2002) 175-183 also discloses pyrrolopyrimidine derivatives.

15 However, none disclose the compounds provided in the present invention.

[PROBLEM(S) TO BE SOLVED BY INVENTION]

An object of the present invention is to provide an antagonist against CRF receptors which is effective as a therapeutic or prophylactic agent for diseases in which CRF is considered to be involved, such as depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastral diseases, drug dependence, epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, cephalic external wound, inflammation, immunity-related diseases, alpecia, irritable bowel syndrome, sleep disorders, epilepsy, dermatitides, schizophrenia, etc.

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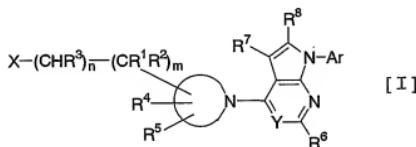
[MEANS FOR SOLVING PROBLEM]

The present inventors earnestly investigated pyrrolopyrimidine and pyrrolopyridine derivatives substituted with a cyclic amino group that have a high

affinity for CRF receptors, whereby the present invention has been accomplished.

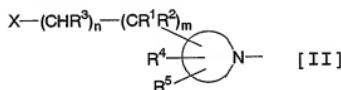
The present invention is pyrrolopyrimidine and pyrrolopyridine derivatives substituted with a cyclic amino group explained below.

A pyrrolopyrimidine or pyrrolopyridine derivative substituted with a cyclic 5 amino group represented by the following formula [I]:



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(wherein the cyclic amino group is represented by the following formula [II]):



15 in which the cyclic amino group is a 3- to 8-membered saturated cyclic amine or a 3- to 8-membered saturated cyclic amine bridged with C1-salkylene or C1-alkylene-O-C1-alkylene between any different two carbon atoms of the cyclic amine, which cyclic amine is substituted with a group represented by -(CR1R2)m-(CHR3)n-X, R4 and R5 independently on the same or different carbon atoms of the cyclic amine;

20 X is cyano, hydroxy or -OR9;

Y is N or CR10;

R1 is hydrogen, hydroxy, C1-salkyl, C1-salkoxy-C1-salkyl or hydroxy-C1-salkyl;

R2 is hydrogen or C1-salkyl;

R3 is hydrogen, cyano, C1-salkyl, C1-salkoxy-C1-salkyl or hydroxy-C1-salkyl;

25 m is an integer selected from 0, 1, 2, 3, 4 and 5;

n is 0 or 1;

with the proviso that when X is hydroxy or OR9, and n is 0, then m is an integer selected from 1, 2, 3, 4 and 5;

R^4 is hydrogen, hydroxy, hydroxy-C₁₋₅alkyl, cyano, cyano-C₁₋₅alkyl or C₁₋₅alkyl;

R^5 is hydrogen or C₁₋₅alkyl;

R^6 is hydrogen, C₁₋₅alkyl, C₃₋₈cycloalkyl, C₃₋₈cycloalkyl-C₁₋₅alkyl, hydroxy,
 5 C₁₋₅salkoxy, C₃₋₈cycloalkyloxy or -N(R¹¹)R¹²;
 R^7 and R^8 are the same or different, and independently are hydrogen, halogen,
 C₁₋₅alkyl, C₃₋₈cycloalkyl, C₃₋₈cycloalkyl-C₁₋₅alkyl, hydroxy, C₁₋₅salkoxy,
 $C_3\text{-}8\text{cycloalkyloxy}$, -N(R^{11a})R^{12a}, -CO₂R¹³, cyano, nitro, C₁₋₅salkylthio, trifluoromethyl or
 trifluoromethoxy; or R^7 and R^8 are taken together to form -CH₂-CH₂-CH₂-CH₂-
 10 or -CH=CH-CH=CH-;

R^9 is C₁₋₂₄acyl, C₁₋₁₀alkoxycarbonyl, aryl-C₁₋₅alkyloxycarbonyl, -CO-O-
 CHR¹⁴-O-CO-R¹⁵, -P(=O)(OR^{14a})OR^{15a}, -CO-(CH₂)_p-(CHR¹⁶)_q-NR¹⁷R¹⁸, arylcarbonyl
 or heteroarylcarbonyl, wherein each said acyl, aryl and heteroaryl is unsubstituted or
 substituted with C₁₋₅alkoxy, and C₁₋₂₄acyl optionally includes one to six double bonds;

15 R^{10} is hydrogen, C₁₋₅alkyl, halogen, cyano or -CO₂R¹⁹;

Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted
 with 1 or more substituents, which are the same or different, selected from the group
 consisting of halogen, C₁₋₅alkyl, C₃₋₈cycloalkyl, C₂₋₅alkenyl, C₂₋₅alkynyl, C₁₋₅salkoxy,
 $C_1\text{-}5\text{alkylthio}$, C₁₋₅alkylsulfinyl, C₁₋₅alkylsulfonyl, cyano, nitro, hydroxy, -
 20 CO₂R^{19a}, -C(=O)R^{19a}, -CONR^{11b}R^{12b}, -OC(=O)R^{19a}, -NR^{11b}CO₂R^{19a}, -S(O)NR^{11b}R^{12b},
 hydroxy-C₂₋₅alkylamino-C₂₋₅alkoxy, trifluoromethyl, trifluoromethoxy, difluoromethoxy,
 fluoromethoxy, methylenedioxy, ethylenedioxy and -N(R²⁰)R²¹; with the proviso that
 when X is hydroxy, Y is N, and the cyclic amino group is 5-membered ring, then Ar is
 aryl or heteroaryl which aryl or heteroaryl is substituted with at least one of substituents
 25 which are selected from halogen and trifluoromethyl;

R^{11} and R^{12} are the same or different, and independently are hydrogen,
 C₁₋₅alkyl, C₃₋₈cycloalkyl or C₃₋₈cycloalkyl-C₁₋₅alkyl;

R^{11a} and R^{12a} are the same or different, and independently are hydrogen,

C₁-salkyl, C₃-cycloalkyl or C₃-cycloalkyl-C₁-salkyl;

R^{11b} and R^{12b} are the same or different, and independently are hydrogen,

C₁-salkyl, C₃-cycloalkyl or C₃-cycloalkyl-C₁-salkyl;

R¹³ is hydrogen, C₁-salkyl, C₃-cycloalkyl, C₃-cycloalkyl-C₁-salkyl, C₁-salkoxy-

5 C₁-salkyl, C₃-cycloalkyloxy-C₁-salkyl or phenyl;

R¹⁴ and R¹⁵ are the same or different, and independently are hydrogen,

C₁-salkyl or aryl-C₁-salkyl;

R^{14a} and R^{15a} are the same or different, and independently are hydrogen,

C₁-salkyl or aryl-C₁-salkyl;

10 R¹⁶ is hydrogen, C₁-salkyl, aryl, heteroaryl, aryl-C₁-salkyl, heteroaryl-C₁-salkyl, hydroxy-C₁-salkyl, hydroxycarbonyl-C₁-salkyl, hydroxyphenyl-C₁-salkyl, C₁-salkoxy-C₁-salkyl, amino-C₁-salkyl, guanidino-C₁-salkyl, mercapto-C₁-salkyl, C₁-salkylthio-C₁-salkyl or aminocarbonyl-C₁-salkyl;

R¹⁷ and R¹⁸ are the same or different, and independently are hydrogen,

15 C₁-salkyl, C₃-cycloalkyl, C₃-cycloalkyl-C₁-salkyl, C₁-10acyl, C₁-10alkoxycarbonyl or aryl-C₁-salkyloxy carbonyl;

or R¹⁶ and R¹⁷ are taken together to form -CH₂-, -CH₂CH₂-, -CH₂CH₂CH₂- or -CH₂CH₂CH₂CH₂-;

p is an integer selected from 0, 1, 2, 3, 4 and 5;

20 q is 0 or 1;

R¹⁹ is hydrogen or C₁-salkyl;

R^{19a} is hydrogen or C₁-salkyl;

r is 1 or 2;

25 R²⁰ and R²¹ are the same or different, and independently are hydrogen or C₁-salkyl), individual isomers thereof, racemic or non-racemic mixtures of isomers thereof or N-oxide thereof, or pharmaceutically acceptable salts and hydrates thereof.

The terms used in the present specification have the following meanings.

The term "a 3- to 8-membered saturated cyclic amine" means aziridine,

azetidine, pyrrolidine, piperidine, azepane or azocane.

The term "C₁-salkylene" means a straight or branched chain alkylene of 1 to 5 carbon atoms, such as methylene, ethylene, propylene, trimethylene, tetramethylene, pentamethylene or the like.

5 The term "a 3- to 8-membered saturated cyclic amine bridged with C₁-salkylene or C₁-alkylene-O-C₁-alkylene between any different two carbon atoms of the cyclic amine" includes, for example, 8-azabicyclo[3.2.1]oct-8-yl, 9-azabicyclo[3.3.1]non-9-yl, 7-azabicyclo[2.2.1]hept-7-yl, 3-oxa-7-azabicyclo[3.3.1]non-7-yl and 3-oxa-9-azabicyclo[3.3.1]non-9-yl.

10 The term "C₁-salkyl" means a straight chain or branched chain alkyl group of 1 to 5 carbon atoms, such as methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, sec-butyl, pentyl, isopentyl or the like.

The term "C₁-salkoxy" means a straight chain or branched chain alkoxy group of 1 to 5 carbon atoms, such as methoxy, ethoxy, propoxy, isopropoxy, butoxy, 15 isobutoxy, pentyloxy, isopentyloxy or the like.

The term "C₁-salkoxy-C₁-salkyl" means a substituted C₁-salkyl group having the above-mentioned C₁-salkoxy group as the substituent, such as methoxymethyl, 2-methoxyethyl, 2-ethoxyethyl or the like.

20 The term "hydroxy-C₁-salkyl" means a substituted C₁-salkyl group having hydroxy group, such as hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 1-hydroxypropyl, 2-hydroxypropyl, 3-hydroxypropyl, 4-hydroxybutyl, 5-hydroxypentyl or the like.

25 The term "cyano-C₁-salkyl" means a substituted C₁-salkyl group having cyano group, such as cyanomethyl, 1-cyanoethyl, 2-cyanoethyl, 3-cyanopropyl, 4-cyanobutyl, 5-cyanopentyl or the like.

The term "C₃-scycloalkyl" means a cyclic alkyl group of 3 to 8 carbon atoms, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or the like.

The term "C₃-scycloalkyl-C₁-salkyl" means a substituted C₁-salkyl group having

the above-mentioned C₃₋₈cycloalkyl as the substituent, such as cyclopropylmethyl, cyclopropylethyl, cyclopentylethyl or the like.

The term "C₃₋₈cycloalkyloxy" means a cyclic alkoxy group of 3 to 8 carbon atoms, such as cyclopropyloxy, cyclobutyloxy, cyclopentyloxy or the like.

5 The term "halogen" means fluorine, chlorine, bromine or iodine atom.

The term "C₃₋₈cycloalkyloxy-C₁₋₅alkyl" means a substituted C₁-alkyl group having the above mentioned C₃₋₈cycloalkyloxy as the substituent, such as cyclopropyloxymethyl, 2-cyclopropyloxyethyl or the like.

The term "C₁-alkylthio" means a straight chain or branched chain alkylthio group of 1 to 5 carbon atoms, such as methylthio, ethylthio, propylthio or the like.

10 The term "C₁₋₂₄acyl" means a straight chain or branched chain, and saturated or unsaturated acyl group of 1 to 24 carbon atoms, such as acetyl, propionyl, butyryl, pentanoyl, hexanoyl, heptanoyl, octanoyl, nonanoyl, decanoyl, isobutyryl, 2,2-dimethylpropionyl, octadeca-9,12-dienoyl, eicosa-5,8,11,14-tetraenoyl, docosa-15 4,7,10,13,16,19-hexaenoyl, eicosa-5,8,11,14,17-pentaenoyl or the like.

15 The term "C₁₋₁₀alkoxycarbonyl" means a straight chain or branched chain alkoxycarbonyl group of 2 to 11 carbon atoms, such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, pentyloxycarbonyl, hexyloxycarbonyl, heptyloxycarbonyl, octyloxycarbonyl, nonyloxycarbonyl, 20 decyloxycarbonyl, isopropoxycarbonyl, t-butoxycarbonyl or the like.

The term "aryl" means a monocyclic or bicyclic group of 6 to 12 ring carbon atoms having at least one aromatic ring, such as phenyl, naphthyl or the like.

20 The term "aryl-C₁₋₅alkyloxycarbonyl" means a substituted C₁₋₅alkyloxycarbonyl group having the above-mentioned aryl as the substituent, such as 25 benzoyloxycarbonyl, phenethyloxycarbonyl or the like.

The term "arylcarbonyl" means a substituted carbonyl group having the above-mentioned aryl as the substituent, such as benzoyl, naphthalene-1-carbonyl, naphthalene-2-carbonyl or the like.

The term "heteroaryl" means a monocyclic or bicyclic group of 5 to 12 ring atoms having at least one aromatic ring having in its ring 1 to 4 atoms which may be the same or different and are selected from nitrogen, oxygen and sulfur, such as pyridyl, pyrimidinyl, imidazolyl, quinolyl, indolyl, benzofuranyl, quinoxalinyl,

5 benzo[1,2,5]thiadiazolyl, benzo[1,2,5]oxadiazolyl or the like.

The term "heteroarylcarbonyl" means a substituted carbonyl group having the above-mentioned heteroaryl as the substituent, such as pyridine-2-carbonyl, pyridine-3-carbonyl, pyridine-4-carbonyl, pyrimidine-2-carbonyl, pyrimidine-4-carbonyl, pyrimidine-5-carbonyl or the like.

10 The term "C₂-salkenyl" means a straight chain or branched chain alkenyl group of 2 to 5 carbon atoms, such as vinyl, isopropenyl, allyl or the like.

The term "C₂-salkynyl" means a straight chain or branched chain alkynyl group of 2 to 5 carbon atoms, such as ethynyl, prop-1-ynyl, prop-2-ynyl or the like.

15 The term "C₁-salkysulfinyl" means a straight chain or branched chain alkylsulfinyl group of 1 to 5 carbon atoms, such as methanesulfinyl, ethanesulfinyl or the like.

The term "C₁-salkysulfonyl" means a straight chain or branched chain alkylsulfonyl group of 1 to 5 carbon atoms, such as methanesulfonyl, ethanesulfonyl or the like.

20 The term "hydroxy-C₂-salkylamino-C₂-salkoxy" means a substituted C₂-salkoxy group having a hydroxy-C₂-salkylamino group as the substituent such as 2-(2-hydroxyethylamino)ethoxy or the like.

25 The term "aryl-C₁-salkyl" means a substituted C₁-salkyl group having the above-mentioned aryl as the substituent, such as benzyl, phenethyl, 3-phenylpropyl, naphthalen-1-ylmethyl, naphthalen-2-ylmethyl or the like.

The term "heteroaryl-C₁-salkyl" means a substituted C₁-salkyl group having the above-mentioned heteroaryl as the substituent, such as 1H-indol-3-ylmethyl, 1H-imidazol-4-ylmethyl or the like.

The term "hydroxycarbonyl-C₁-salkyl" means a substituted C₁-salkyl group having a hydroxycarbonyl group as the substituent, such as hydroxycarbonylmethyl, 2-hydroxycarbonylethyl, 3-hydroxycarbonylpropyl, 4-hydroxycarbonylbutyl or the like.

The term "hydroxyphenyl-C₁-salkyl" means a substituted C₁-salkyl group 5 having a hydroxyphenyl group as the substituent, such as 4-hydroxybenzyl, 3-hydroxybenzyl 2-hydroxybenzyl, 2-(4-hydroxyphenyl)ethyl or the like.

The term "amino-C₁-salkyl" means a substituted C₁-salkyl group having a amino group as the substituent, such as aminomethyl, 1-aminoethyl, 2-aminoethyl, 3-aminopropyl, 4-aminobutyl, 5-aminopentyl or the like.

10 The term "guanidino-C₁-salkyl" means a substituted C₁-salkyl group having a guanidino group as the substituent, such as guanidinomethyl, 1-guanidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 5-guanidinopentyl or the like.

15 The term "mercapto-C₁-salkyl" means a substituted C₁-salkyl group having a mercapto group as the substituent, such as mercaptomethyl, 1-mercaptopethyl, 2-mercaptopethyl, 3-mercaptopropyl, 4-mercaptopbutyl, 5-mercaptopentyl or the like.

The term "C₁-salkylthio-C₁-salkyl" means a substituted C₁-salkyl group having the above-mentioned C₁-salkylthio group as the substituent, such as methylthiomethyl, 1-methylthioethyl, 2-methylthioethyl, 3-methylthiopropyl, 4-methylthiobutyl, 5-methylthiopentyl or the like.

20 The term "aminocarbonyl-C₁-salkyl" means a substituted C₁-salkyl group having an aminocarbonyl group as the substituent, such as aminocarbonylmethyl, 2-aminocarbonylethyl, 3-aminocarbonylpropyl, 4-aminocarbonylbutyl or the like.

The phrase "aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from 25 the group consisting of halogen, C₁-salkyl, C₃-salkylthio, C₂-salkenyl, C₂-salkynyl, C₁-salkoxy, C₁-salkylthio, C₁-salkylsulfinyl, C₁-salkylsulfonyl, cyano, nitro, hydroxy, -CO₂R^{19a}, -C(=O)R^{19a}, -CONR^{11b}R^{12b}, -OC(=O)R^{19a}, -NR^{11b}CO₂R^{19a}, -S(O)_tNR^{11b}R^{12b}, hydroxy-C₂-salkylamino-C₂-salkoxy, trifluoromethyl, trifluoromethoxy,

difluoromethoxy, fluoromethoxy, methylenedioxy, ethylenedioxy and -N(R²⁰)R²¹,

includes, for example, 2,4-dimethylphenyl, 2,6-dimethylphenyl, 2,4-dibromophenyl, 2-bromo-4-isoproylphenyl, 2,4-dichlorophenyl, 2,6-dichlorophenyl, 2-chloro-4-trifluoromethylphenyl, 4-methoxy-2-methylphenyl, 2-chloro-4-trifluoromethoxyphenyl,

5 4-isopropyl-2-methylthiophenyl, 2,4,6-trimethylphenyl, 4-bromo-2,6-dimethylphenyl, 4-bromo-2,6-diethylphenyl, 4-chloro-2,6-dimethylphenyl, 2,4,6-tribromophenyl, 2,4,5-tribromophenyl, 2,4,6-trichlorophenyl, 2,4,5-trichlorophenyl, 4-bromo-2,6-dichlorophenyl, 6-chloro-2,4-dibromophenyl, 2,4-dibromo-6-fluorophenyl, 2,4-dibromo-6-methylphenyl, 2,4-dibromo-6-methoxyphenyl, 2,4-dibromo-6-

10 methylthiophenyl, 2,6-dibromo-4-isopropylphenyl, 2,6-dibromo-4-trifluoromethylphenyl, 2-bromo-4-trifluoromethylphenyl, 4-bromo-2-chlorophenyl, 2-bromo-4-chlorophenyl, 4-bromo-2-methylphenyl, 4-chloro-2-methylphenyl, 2,4-dimethoxyphenyl, 2,6-dimethyl-4-methoxyphenyl, 4-chloro-2,6-dibromophenyl, 4-bromo-2,6-difluorophenyl, 2,6-dichloro-4-trifluoromethylphenyl, 2,6-dichloro-4-

15 trifluoromethoxyphenyl, 2,6-dibromo-4-trifluoromethoxyphenyl, 2-chloro-4,6-dimethylphenyl, 2-bromo-4,6-dimethoxyphenyl, 2-bromo-4-isopropyl-6-methoxyphenyl, 2,4-dimethoxy-6-methylphenyl, 2,6-dimethyl-4-[2-(2-

hydroxyethylamino)ethoxy]phenyl, 6-dimethylamino-4-methylpyridin-3-yl, 2-chloro-6-trifluoromethylpyridin-3-yl, 2-chloro-6-

20 methoxypyridin-3-yl, 6-methoxy-2-trifluoromethylpyridin-3-yl, 2-chloro-6-difluoromethylpyridin-3-yl, 6-methoxy-2-methylpyridin-3-yl, 2,6-dimethoxypyridin-3-yl, 4,6-dimethyl-2-trifluoromethylpyrimidin-5-yl, 2-dimethylamino-6-methylpyridin-3-yl, 6-dimethylamino-2-methylpyridin-3-yl, 2,3-dihydrobenzo[1,4]dioxin-5-yl and benzo[1,3]dioxol-4-yl, 5,7-dimethylbenzo[1,2,5]thiadiazol-4-yl, 5,7-

25 dimethylbenzo[1,2,5]oxadiazol-4-yl, 2-isopropoxy-6-trifluoromethylpyridin-3-yl, 2-methoxy-6-methylpyridin-3-yl, 2,6-dimethylpyridin-3-yl, 2-bromo-6-methoxypyridin-3-yl, 2-chloro-6-dimethylaminopyridin-3-yl, 2,6-dichloropyridin-3-yl, 2,4-dimethyl-6-dimethylaminopyridin-3-yl, 2,4,6-trimethylpyridin-3-yl, 2,4,6-trimethylpyrimidin-5-yl,

4,6-dimethyl-2-dimethylaminopyrimidin-5-yl, 5-iodo-3-methylpyridin-2-yl, 3-methyl-5-methylaminopyridin-2-yl, 3-dimethylamino-5-methylpyridin-2-yl, 5-methyl-3-methylaminopyridin-2-yl, 3-chloro-5-methylpyridin-2-yl, 3-amino-5-methylpyridin-2-yl, 5-methyl-3-nitropyridin-2-yl, 5-diethylamino-3-methylpyridin-2-yl, 5-fluoro-3-

5 methylpyridin-2-yl, 5-chloro-3-methylpyridin-2-yl, 5-dimethylamino-3-methylpyridin-2-yl, 5-amino-3-methylpyridin-2-yl, 3-methyl-5-nitropyridin-2-yl, 3-bromo-5-methylpyridin-2-yl, 4-chloro-2,5-dimethoxyphenyl, 4,5-dimethyl-2-methoxyphenyl, 5-fluoro-2,4-dimethylphenyl, 2,4-dimethoxy-5-methylphenyl, 2-chloro-4-methoxy-5-methylphenyl, 2-chloro-5-fluoro-4-methylphenyl, 2-bromo-4,5-dimethoxyphenyl, 2-bromo-5-fluoro-4-methoxyphenyl, 2-chloro-4,5-dimethoxyphenyl, 2,5-dichloro-4-methoxyphenyl, 2,4-dichloro-5-fluorophenyl, 2-chloro-5-fluoro-4-methoxyphenyl, 2,4,5-trichlorophenyl, 2-chloro-5-fluoro-4-methylphenyl, 5-fluoro-4-methoxy-2-methylphenyl, 4,5-dimethoxy-2-methylphenyl, 5-chloro-4-methoxy-2-methylphenyl, 2,4,5-trimethylphenyl, 6-methoxy-4-methylpyridin-3-yl, 4-methoxy-6-methylpyridin-3-yl, 4,6-dimethylpyridin-3-yl, 2-chloro-4-isopropylphenyl, 2-chloro-4-methylphenyl, 4-amino-2-chlorophenyl, 2-chloro-4-dimethylcarbamoylphenyl, 2-chloro-4-methylcarbamoylphenyl, 4-carbamoyl-2-chlorophenyl, 2-chloro-4-methylsulfonylphenyl, 4-carboxy-2-chlorophenyl, 2-chloro-4-iodophenyl, 2-bromo-4-methylthiophenyl, 2-bromo-4-methylsulfonylphenyl, 2-bromo-4-dimethylaminophenyl, 2-bromo-4-methylsulfonylphenyl, 2-bromo-4-cyclopentylphenyl, 2-bromo-4-tert-butylphenyl, 2-bromo-4-propylphenyl, 2-bromo-4-methylphenyl, 2-bromo-4-trifluoromethoxyphenyl, 2-bromo-4-methoxyphenyl, 2-bromo-4-ethoxyphenyl, 4-isopropyl-2-methylsulfonylphenyl, 4-cyclopentyl-2-methylthiophenyl, 4-butyl-2-methylthiophenyl, 4-methoxy-2-methylthiophenyl, 2-methylthio-4-propylphenyl, 2-dimethylamino-4-isopropylphenyl, 2-iodo-4-isopropylphenyl, 2-fluoro-4-methylphenyl, 2,4-difluorophenyl, 2-chloro-4-methoxyphenyl, 2-chloro-4-hydroxyphenyl, 4-cyano-2-methoxyphenyl, 4-bromo-2-methoxyphenyl, 2-methoxy-4-methylphenyl, 4-chloro-2-methoxyphenyl, 2-hydroxy-4-methylphenyl, 4-fluoro-2-methoxyphenyl, 2-hydroxy-4-

methylphenyl, 4-cyano-2-methoxyphenyl, 2-chloro-4-methylthiophenyl, 2-methoxy-4-trifluoromethylphenyl, 4-isopropyl-2-methoxyphenyl, 2-chloro-4-cyanophenyl, 2-chloro-4-ethoxycarbonylphenyl, 2-chloro-4-methylaminophenyl, 4-cyano-2-trifluoromethylphenyl, 4-cyano-2-methylphenyl, 2-methyl-4-trifluoromethoxyphenyl, 2-5 cyano-4-trifluoromethylphenyl, 4-carboxyamino-2-trifluoromethylphenyl, 4-methoxy-2-trifluoromethylphenyl, 4-fluoro-2-methylphenyl, 4-hydroxy-2-methylphenyl, 4-methoxy-2-methoxycarbonylphenyl, 2-ethyl-4-methoxyphenyl, 2-formyl-4-methoxyphenyl, 4-chloro-2-trifluoromethylphenyl, 4-dimethylamino-2-trifluoromethylphenyl, 4-difluoromethoxy-2-methylphenyl, 2-cyano-4-methoxyphenyl, 10 4-hydroxy-2-trifluoromethylphenyl, 4-isopropyl-2-trifluoromethylphenyl, 4-diethylamino-2-methylphenyl, 4-fluoro-2-trifluoromethylphenyl, 4-propoxy-2-trifluoromethylphenyl, 4-dimethylamino-2-methylthiophenyl, 4-isopropyl-2-isopropylthiophenyl, 2-ethylthio-4-isopropylphenyl, 4-methylamino-2-methylthiophenyl, 2-methylthio-4-propionylphenyl, 4-acetyl-2-methylthiophenyl, 4-15 cyano-2-methylthiophenyl, 4-methoxy-2-methylthiophenyl, 4-ethyl-2-methylthiophenyl, 4-bromo-2-methylthiophenyl, 4-isopropyl-2-methylsulfinylphenyl, 2,4-dimethylthiophenyl, 4,6-dimethyl-2-isopropylphenyl, 4,6-dimethyl-2-isopropenylphenyl, 2-acetyl-4,6-dimethylphenyl, 2,6-dimethyl-4-trifluoromethylphenyl, 2,6-dimethyl-4-isopropenylphenyl, 4-acetyl-2,6-dimethylphenyl, 2,4,6-triethylphenyl, 4,6-dimethyl-2-methylthiophenyl, 4,6-dimethyl-2-iodophenyl, 2-fluoromethoxy-4,6-dimethylphenyl, 4,6-dimethyl-2-isopropoxyphenyl, 4,6-dimethyl-2-ethoxyphenyl, 2,6-dichloro-4-ethoxyphenyl, 2-bromo-4,6-dimethoxyphenyl, 2-bromo-6-hydroxy-4-methoxyphenyl, 2,6-dibromo-4-ethoxyphenyl, 4-bromo-2-methoxy-6-methylphenyl, 2,6-dibromo-4-methoxyphenyl, 4,6-dibromo-2-trifluoromethoxyphenyl, 2,4-dibromo-6-20 trifluoromethylphenyl, 4-bromo-2-chloro-6-methylphenyl, 4-chloro-2,6-dimethoxyphenyl, 2,4-dichloro-6-methoxyphenyl, 4,6-dichloro-2-methylthiophenyl, 4,6-dichloro-2-trifluoromethylphenyl, 2,6-dimethoxy-4-ethylphenyl, 4,6-dimethyl-2-methoxyphenyl, 2,6-dimethoxy-4-methylphenyl, 2-chloro-6-methoxy-4-methylphenyl,

4,6-dimethyl-2-ethoxyphenyl, 6-hydroxy-2,4-dimethylphenyl, 4-cyano-2-methoxy-6-methylphenyl, 6-fluoro-2-methoxy-4-methylphenyl, 4-acetyl-2-methoxy-6-

methylphenyl, 2-chloro-4,6-dimethoxyphenyl, 2,6-dimethoxy-4-ethoxyphenyl, 2,4,6-trimethoxyphenyl, 4,6-dibromo-2-trifluoromethoxyphenyl, 2-bromo-4-dimethylamino-

5 6-methoxyphenyl, 4-bromo-2-methoxy-6-methylphenyl, 4,6-dimethoxy-2-propoxyphenyl, 4,6-dichloro-2-propoxyphenyl, 2-bromo-6-hydroxy-4-methoxyphenyl, 2,4,6-trifluorophenyl, 2-bromo-6-fluoro-4-methylphenyl, 4-difluoromethoxy-2,6-dimethylphenyl, 2,6-dimethyl-4-ethoxyphenyl, 2,6-dimethyl-4-isopropoxyphenyl, 2,6-dimethyl-4-methylthiophenyl, 2,6-dimethyl-4-methylsulfonylophenyl, 2,6-dimethyl-4-methylsulfinylophenyl, 2,3-dichlorophenyl, 4-methoxy-2,3-dimethylphenyl, 2-chloro-3-fluoro-4-methoxyphenyl, 2,3,4-trichlorophenyl and 4-methoxy-2,5-dimethylphenyl.

The “pharmaceutically acceptable salts” in the present invention include, for example, salts with an inorganic acid such as sulfuric acid, hydrochloric acid,

hydrobromic acid, phosphoric acid, nitric acid or the like; salts with an organic acid

15 such as acetic acid, oxalic acid, lactic acid, tartaric acid, fumaric acid, maleic acid, citric acid, benzenesulfonic acid, methanesulfonic acid, p-toluenesulfonic acid, benzoic acid, camphorsulfonic acid, ethanesulfonic acid, glucoheptonic acid, gluconic acid, glutamic acid, glycolic acid, malic acid, malonic acid, mandelic acid, galactaric acid, naphthalene-2-sulfonic acid or the like; salts with one or more metal ions such as

20 lithium ion, sodium ion, potassium ion, calcium ion, magnesium ion, zinc ion, aluminium ion or the like; salts with amines such as ammonia, arginine, lysine, piperazine, choline, diethylamine, 4-phenylcyclohexylamine, 2-aminoethanol, benzathine or the like.

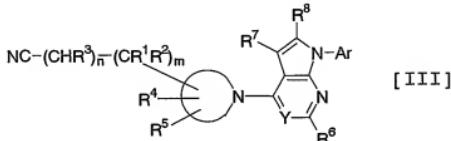
A compound of the present invention includes any isomers such as

25 diastereomers, enantiomers, geometricisomers and tautomeric forms. In a compound represented by formula [I], if the cyclic amino group has one or more chiral carbons and/or if there is an axial chirality between Ar and pyrrolopyrimidine (or pyrrolopyridine) ring, several stereoisomers (diastereomers or enantiomers) can exist.

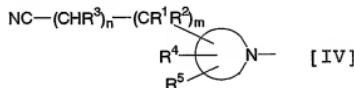
The compound of the present invention includes the individual isomers and the racemic and non-racemic mixtures of the isomers.

Preferable examples of the compound of the present invention are as follows.

5 That is, preferable are compounds represented by the following formula [III]



10 (wherein the cyclic amino group is represented by the following formula [IV]):



in which the cyclic amino group is a 3- to 8-membered saturated cyclic amine
 15 or a 3- to 8-membered saturated cyclic amine bridged with C₁-salkylene or C₁-alkylene-O-C₁-alkylene between any different two carbon atoms of the cyclic amine, which cyclic amine is substituted with a group represented by -(CR¹R²)_m-(CHR³)_n-CN, R⁴ and R⁵ independently on the same or different carbon atoms of the cyclic amine;

Y is N or CR¹⁰;
 20 R¹ is hydrogen, hydroxy, C₁-salkyl, C₁-salkoxy-C₁-salkyl or hydroxy-C₁-salkyl;
 R² is hydrogen or C₁-salkyl;
 R³ is hydrogen, cyano, C₁-salkyl, C₁-salkoxy-C₁-salkyl or hydroxy-C₁-salkyl;
 m is an integer selected from 0, 1, 2, 3, 4 and 5;
 n is 0 or 1;
 25 R⁴ is hydrogen, hydroxy, hydroxy-C₁-salkyl, cyano, cyano-C₁-salkyl or C₁-salkyl;
 R⁵ is hydrogen or C₁-salkyl;
 R⁶ is hydrogen, C₁-salkyl, C₃-salkoxy-C₁-salkyl, C₃-salkoxy-C₁-salkyl, hydroxy,

$C_{1-5}alkoxy$, $C_{3-8}cycloalkyloxy$ or $-N(R^{11})R^{12}$;

R^7 and R^8 are the same or different, and independently are hydrogen, halogen, $C_{1-5}alkyl$, $C_{3-8}cycloalkyl$, $C_{3-8}cycloalkyl-C_{1-5}alkyl$, hydroxy, $C_{1-5}alkoxy$, $C_{3-8}cycloalkyloxy$, $-N(R^{11a})R^{12a}$, $-CO_2R^{13}$, cyano, nitro, $C_{1-5}alkylthio$, trifluoromethyl or 5 trifluoromethoxy; or R^7 and R^8 are taken together to form $-CH_2-CH_2-CH_2-CH_2-$ or $-CH=CH-CH=CH-$;

R^{10} is hydrogen, $C_{1-5}alkyl$, halogen, cyano or $-CO_2R^{19}$;

Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from the group 10 consisting of halogen, $C_{1-5}alkyl$, $C_{3-8}cycloalkyl$, $C_{2-5}alkenyl$, $C_{2-5}alkynyl$, $C_{1-5}alkoxy$, $C_{1-5}alkylthio$, $C_{1-5}alkylsulfinyl$, $C_{1-5}alkylsulfonyl$, cyano, nitro, hydroxy, - CO_2R^{19a} , $-C(=O)R^{19a}$, $-CONR^{11b}R^{12b}$, $-OC(=O)R^{19a}$, $-NR^{11b}CO_2R^{19a}$, $-S(O)NR^{11b}R^{12b}$, hydroxy- $C_{2-5}alkylamino$ - $C_{2-5}alkoxy$, trifluoromethyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy, methylenedioxy, ethylenedioxy and $-N(R^{20})R^{21}$;

15 R^{11} and R^{12} are the same or different, and independently are hydrogen, $C_{1-5}alkyl$, $C_{3-8}cycloalkyl$ or $C_{3-8}cycloalkyl-C_{1-5}alkyl$;

R^{11a} and R^{12a} are the same or different, and independently are hydrogen, $C_{1-5}alkyl$, $C_{3-8}cycloalkyl$ or $C_{3-8}cycloalkyl-C_{1-5}alkyl$;

20 R^{11b} and R^{12b} are the same or different, and independently are hydrogen, $C_{1-5}alkyl$, $C_{3-8}cycloalkyl$ or $C_{3-8}cycloalkyl-C_{1-5}alkyl$;

R^{13} is hydrogen, $C_{1-5}alkyl$, $C_{3-8}cycloalkyl$, $C_{3-8}cycloalkyl-C_{1-5}alkyl$, $C_{1-5}alkoxy-C_{1-5}alkyl$, $C_{3-8}cycloalkyloxy-C_{1-5}alkyl$ or phenyl;

R^{19} is hydrogen or $C_{1-5}alkyl$;

R^{19a} is hydrogen or $C_{1-5}alkyl$;

25 r is 1 or 2;

R^{20} and R^{21} are the same or different, and independently are hydrogen or $C_{1-5}alkyl$). More preferable are compounds represented by the formula [III] in which Y is N. More preferable are compounds represented by the formula [III] in which Y is N;

n is 0; R¹, R², R⁴ and R⁵ are hydrogen. More preferable are compounds represented by the formula [III] in which Y is N; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; m is an integer selected from 0, 1, 2 and 3; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently

5 are hydrogen or C₁-alkyl; Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁-alkyl, C₁-alkoxy, C₁-alkylthio, trifluoromethyl, trifluoromethoxy and -N(R²⁰)R²¹ (wherein R²⁰ and R²¹ are the same or different, and independently are hydrogen or C₁-alkyl). More preferable are compounds represented

10 by the formula [III] in which wherein Y is N; the cyclic amino group is a 6-membered saturated cyclic amine; m is 0 or 1; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or methyl; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of chloro, bromo, C₁-alkyl, C₁-alkoxy,

15 C₁-alkylthio, trifluoromethyl, trifluoromethoxy and dimethylamino.

Other preferable are compounds represented by the formula [III] in which Y is CR¹⁰. More preferable are compounds represented by the formula [III] in which Y is CR¹⁰; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R¹⁰ is hydrogen or halogen. More preferable are compounds represented by the formula [III] in which Y is CR¹⁰; the

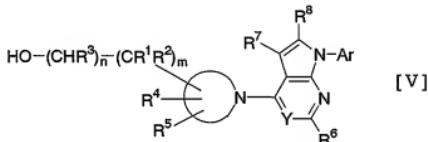
20 cyclic amino group is a 4- to 7-membered saturated cyclic amine; m is an integer selected from 0, 1, 2 and 3; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or C₁-alkyl; R¹⁰ is hydrogen or halogen; Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group

25 consisting of halogen, C₁-alkyl, C₁-alkoxy, C₁-alkylthio, trifluoromethyl, trifluoromethoxy and -N(R²⁰)R²¹ (wherein R²⁰ and R²¹ are the same or different, and independently are hydrogen or C₁-alkyl). More preferable are compounds represented by the formula [III] in which Y is CR¹⁰; the cyclic amino group is a 6-membered

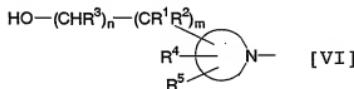
saturated cyclic amine; m is 0 or 1; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or methyl; R¹⁰ is hydrogen; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of chloro, bromo, C₁₋₃alkyl,

5 C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and dimethylamino.

Other preferable are compounds represented by the following formula [V]:



(wherein the cyclic amino group is represented by the following formula [VI]):



15 in which the cyclic amino group is a 3- to 8-membered saturated cyclic amine or a 3- to 8-membered saturated cyclic amine bridged with C₁₋₅alkylene or C₁₋₄alkylene-O-C₁₋₄alkylene between any different two carbon atoms of the cyclic amine, which cyclic amine is substituted with a group represented by -(CR¹R²)_m-(CHR³)_n-OH, R⁴ and R⁵ independently on the same or different carbon atoms of the cyclic amine;

20 Y is N or CR¹⁰;

R¹ is hydrogen, hydroxy, C₁₋₅alkyl, C₁₋₅alkoxy-C₁₋₅alkyl or hydroxy-C₁₋₅alkyl;

R² is hydrogen or C₁₋₅alkyl;

R³ is hydrogen, cyano, C₁₋₅alkyl, C₁₋₅alkoxy-C₁₋₅alkyl or hydroxy-C₁₋₅alkyl;

m is an integer selected from 0, 1, 2, 3, 4 and 5;

25 n is 0 or 1;

with the proviso that when n is 0, m is an integer selected from 1, 2, 3, 4 and 5;

R⁴ is hydrogen, hydroxy, hydroxy-C₁₋₅alkyl, cyano, cyano-C₁₋₅alkyl or

C₁₋₅alkyl;

R^5 is hydrogen or C_1 -salkyl;

R^6 is hydrogen, C_1 -salkyl, C_3 -scycloalkyl, C_3 -scycloalkyl- C_1 -salkyl, hydroxy, C_1 -salkoxy, C_3 -scycloalkyloxy or $-N(R^{11})R^{12}$;

R^7 and R^8 are the same or different, and independently are hydrogen, halogen,

5 C_1 -salkyl, C_3 -scycloalkyl, C_3 -scycloalkyl- C_1 -salkyl, hydroxy, C_1 -salkoxy, C_3 -scycloalkyloxy, $-N(R^{11})R^{12a}$, $-CO_2R^{13}$, cyano, nitro, C_1 -salkylthio, trifluoromethyl or trifluoromethoxy; or R^7 and R^8 are taken together to form $-CH_2-CH_2-CH_2-CH_2-$ or $-CH=CH-CH=CH-$;

R^{10} is hydrogen, C_1 -salkyl, halogen, cyano or $-CO_2R^{19}$;

10 Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from the group consisting of halogen, C_1 -salkyl, C_3 -scycloalkyl, C_2 -salkenyl, C_2 -salkynyl, C_1 -salkoxy, C_1 -salkylthio, C_1 -salkylsulfinyl, C_1 -salkylsulfonyl, cyano, nitro, hydroxy, - CO_2R^{19a} , $-C(=O)R^{19a}$, $-CONR^{11b}R^{12b}$, $-OC(=O)R^{19a}$, $-NR^{11b}CO_2R^{19a}$, $-S(O)_iNR^{11b}R^{12b}$, 15 hydroxy- C_2 -salkylamino- C_2 -salkoxy, trifluoromethyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy, methylenedioxy, ethylenedioxy and $-N(R^{20})R^{21}$; with the proviso that when Y is N, and the cyclic amino group is 5-membered ring, then Ar is aryl or heteroaryl which aryl or heteroaryl is substituted with at least one of substituents which are selected from halogen and trifluoromethyl;

20 R^{11} and R^{12} are the same or different, and independently are hydrogen, C_1 -salkyl, C_3 -scycloalkyl or C_3 -scycloalkyl- C_1 -salkyl;

R^{11a} and R^{12a} are the same or different, and independently are hydrogen, C_1 -salkyl, C_3 -scycloalkyl or C_3 -scycloalkyl- C_1 -salkyl;

25 R^{11b} and R^{12b} are the same or different, and independently are hydrogen, C_1 -salkyl, C_3 -scycloalkyl or C_3 -scycloalkyl- C_1 -salkyl;

R^{13} is hydrogen, C_1 -salkyl, C_3 -scycloalkyl, C_3 -scycloalkyl- C_1 -salkyl, C_1 -salkoxy, C_1 -salkyl, C_3 -scycloalkyloxy- C_1 -salkyl or phenyl;

R^{19} is hydrogen or C_1 -salkyl;

R^{19a} is hydrogen or C_{1-3} alkyl;

r is 1 or 2;

R^{20} and R^{21} are the same or different, and independently are hydrogen or C_{1-3} alkyl). More preferable are compounds represented by the formula [V] in which Y

5 is N. More preferable are compounds represented by the formula [V] in which Y is N; m is an integer selected from 1, 2, 3, 4 and 5; n is 0; R^1 , R^2 , R^4 and R^5 are hydrogen.

More preferable are compounds represented by the formula [V] in which Y is N; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is 0; R^1 , R^2 , R^4 and R^5 are hydrogen; R^6 is methyl; R^7 and R^8

10 are the same or different, and independently are hydrogen or C_{1-3} alkyl; Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C_{1-3} alkyl,

C_{1-3} alkoxy, C_{1-3} alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{20})R^{21}$ (wherein R^{20} and R^{21} are the same or different, and independently are hydrogen or C_{1-3} alkyl);

15 with the proviso that when the cyclic amino group is 5-membered ring, Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with at least one of substituents which are selected from halogen and trifluoromethyl. More preferable are compounds represented by the formula [V] in which Y is N; the cyclic amino group is a 6-membered saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is 0; R^1 , R^2 , R^4 and R^5 are

20 hydrogen; R^6 is methyl; R^7 and R^8 are the same or different, and independently are hydrogen or methyl; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of chloro, bromo, C_{1-3} alkyl, C_{1-3} alkoxy, C_{1-3} alkylthio, trifluoromethyl, trifluoromethoxy and dimethylamino.

25 Other preferable are compounds represented by the formula [V] in which Y is N; m is 1; n is 0; R^1 is C_{1-3} alkyl or hydroxy- C_{1-3} alkyl; R^2 , R^4 and R^5 are hydrogen. More preferable are compounds represented by the formula [V] in which Y is N; m is 1; n is 0; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; R^1 is

C_{1-5} alkyl or hydroxy- C_{1-5} alkyl; R^2 , R^4 and R^5 are hydrogen; R^6 is methyl; R^7 and R^8 are the same or different, and independently are hydrogen or C_{1-5} alkyl; Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C_{1-5} alkyl,

5 C_{1-3} alkoxy, C_{1-3} alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{20})R^{21}$ (wherein R^{20} and R^{21} are the same or different, and independently are hydrogen or C_{1-3} alkyl). More preferable are compounds represented by the formula [V] in which Y is N; m is 1; n is 0; the cyclic amino group is a 6-membered saturated cyclic amine; R^1 is C_{1-5} alkyl or hydroxy- C_{1-5} alkyl; R^2 , R^4 and R^5 are hydrogen; R^6 is methyl; R^7 and R^8 are the same or 10 different, and independently are hydrogen or methyl; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of chloro, bromo, C_{1-3} alkyl, C_{1-3} alkoxy, C_{1-3} alkylthio, trifluoromethyl, trifluoromethoxy and dimethylamino.

Other preferable are compounds represented by the formula [V] in which Y is

15 N; m is an integer selected from 1, 2, 3, 4 and 5; n is 0; R^1 , R^2 and R^5 are hydrogen; R^4 is cyano, wherein a group represented by $-(CR^1R^2)_m-(CHR^3)_n-OH$ and R^4 are substituted on the same carbon atom of the cyclic amine. More preferable are compounds 20 represented by the formula [V] in which Y is N; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is 0; R^1 , R^2 and R^5 are hydrogen; R^4 is cyano; R^6 is methyl; R^7 and R^8 are the same or different, and independently are hydrogen or C_{1-5} alkyl; Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C_{1-3} alkyl, C_{1-3} alkoxy, C_{1-3} alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{20})R^{21}$ (wherein R^{20} and R^{21} are the same or 25 different, and independently are hydrogen or C_{1-3} alkyl), wherein a group represented by $-(CR^1R^2)_m-(CHR^3)_n-OH$ and R^4 are substituted on the same carbon atom of the cyclic amine. More preferable are compounds represented by the formula [V] in which Y is N; the cyclic amino group is a 6-membered saturated cyclic amine; m is an integer selected

from 1, 2 and 3; n is 0; R¹, R² and R⁵ are hydrogen; R⁴ is cyano; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or methyl; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of chloro, bromo, C₁-salkyl, C₁-salkoxy, C₁-salkylthio, 5 trifluoromethyl, trifluoromethoxy and dimethylamino, wherein a group represented by -(CR¹R²)_m-(CHR³)_n-OH and R⁴ are substituted on the same carbon atom of the cyclic amine.

Other preferable are compounds represented by the formula [V] in which wherein Y is CR¹⁰. More preferable are compounds represented by the formula [V] in 10 which Y is CR¹⁰; m is an integer selected from 1, 2, 3, 4 and 5; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R¹⁰ is hydrogen or halogen. More preferable are compounds represented by the formula [V] in which Y is CR¹⁰; the cyclic amino group is a 4- to 7-membered 15 saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or C₁-salkyl; R¹⁰ is hydrogen or halogen; Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁-salkyl, C₁-salkoxy, C₁-salkylthio, 20 trifluoromethyl, trifluoromethoxy and -N(R²⁰)R²¹ (wherein R²⁰ and R²¹ are the same or different, and independently are hydrogen or C₁-salkyl). More preferable are compounds represented by the formula [V] in which Y is CR¹⁰; the cyclic amino group 25 is a 6-membered saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or methyl; R¹⁰ is hydrogen; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of chloro, bromo, C₁-salkyl, C₁-salkoxy, C₁-salkylthio, trifluoromethyl, trifluoromethoxy and dimethylamino.

Other preferable are compounds represented by the formula [V] in which Y is CR¹⁰; m is 1; n is 0; R¹ is C₁-salkyl or hydroxy-C₁-salkyl; R², R⁴ and R⁵ are hydrogen;

R^{10} is hydrogen or halogen. More preferable are compounds represented by the formula [V] in which Y is CR^{10} ; m is 1; n is 0; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; R^1 is $C_{1-5}alkyl$ or hydroxy- $C_{1-5}alkyl$; R^2 , R^4 and R^5 are hydrogen; R^6 is methyl; R^7 and R^8 are the same or different, and independently are

- 5 R^{10} is hydrogen or $C_{1-5}alkyl$; R^{10} is hydrogen or halogen; Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, $C_{1-3}alkyl$, $C_{1-3}alkoxy$, $C_{1-3}alkylthio$, trifluoromethyl, trifluoromethoxy and $-N(R^{20})R^{21}$ (wherein R^{20} and R^{21} are the same or different, and independently are hydrogen or $C_{1-3}alkyl$). More preferable are
- 10 compounds represented by the formula [V] in which Y is CR^{10} ; m is 1; n is 0; the cyclic amino group is a 6-membered saturated cyclic amine; R^1 is $C_{1-5}alkyl$ or hydroxy- $C_{1-5}alkyl$; R^2 , R^4 and R^5 are hydrogen; R^6 is methyl; R^7 and R^8 are the same or different, and independently are hydrogen or methyl; R^{10} is hydrogen; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected
- 15 from the group consisting of chloro, bromo, $C_{1-3}alkyl$, $C_{1-3}alkoxy$, $C_{1-3}alkylthio$, trifluoromethyl, trifluoromethoxy and dimethylamino.

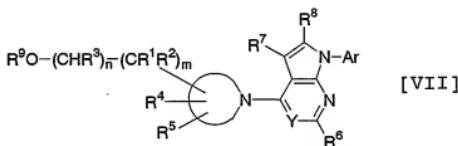
Other preferable are compounds represented by the formula [V] in which Y is CR^{10} , m is an integer selected from 1, 2, 3, 4 and 5; n is 0; R^1 , R^2 and R^5 are hydrogen; R^4 is cyano; R^{10} is hydrogen or halogen, wherein a group represented by $-(CR^1R^2)_m-$

- 20 $(CHR^3)_n-OH$ and R^4 are substituted on the same carbon atom of the cyclic amine. More preferable are compounds represented by the formula [V] in which Y is CR^{10} ; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is 0; R^1 , R^2 and R^5 are hydrogen; R^4 is cyano; R^6 is methyl; R^7 and R^8 are the same or different, and independently are hydrogen or $C_{1-5}alkyl$; R^{10} is hydrogen or halogen; Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, $C_{1-3}alkyl$, $C_{1-3}alkoxy$, $C_{1-3}alkylthio$, trifluoromethyl, trifluoromethoxy and $-N(R^{20})R^{21}$ (wherein R^{20} and R^{21} are the same or different, and independently are
- 25

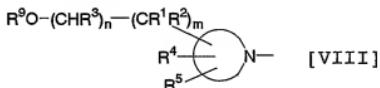
hydrogen or C₁₋₃alkyl), wherein a group represented by -(CR¹R²)_m-(CHR³)_n-OH and R⁴ are substituted on the same carbon atom of the cyclic amine. More preferable are compounds represented by the formula [V] in which Y is CR¹⁰; the cyclic amino group is a 6-membered saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is;

5 R¹, R² and R⁵ are hydrogen; R⁴ is cyano; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or methyl; R¹⁰ is hydrogen; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of chloro, bromo, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and dimethylamino, wherein a group 10 represented by -(CR¹R²)_m-(CHR³)_n-OH and R⁴ are substituted on the same carbon atom of the cyclic amine.

Other preferable are compounds represented by the following formula [VII]:



(wherein the cyclic amino group is represented by the following formula [VIII]):



in which the cyclic amino group is a 3- to 8-membered saturated cyclic amine or a 3- to 8-membered saturated cyclic amine bridged with C₁₋₅alkylene or C₁₋₄alkylene-O-C₁₋₄alkylene between any different two carbon atoms of the cyclic amine, which cyclic amine is substituted with a group represented by -(CR¹R²)_m-(CHR³)_n-OR⁹, R⁴ and 25 R⁵ independently on the same or different carbon atoms of the cyclic amine;

Y is N or CR¹⁰;

R¹ is hydrogen, hydroxy, C₁-alkyl, C₁-alkoxy-C₁-alkyl or hydroxy-C₁-alkyl;

R² is hydrogen or C₁-alkyl;

R^3 is hydrogen, cyano, C_{1-5} alkyl, C_{1-5} alkoxy- C_{1-5} alkyl or hydroxy- C_{1-5} alkyl;

m is an integer selected from 0, 1, 2, 3, 4 and 5;

n is 0 or 1;

with the proviso that when n is 0, m is an integer selected from 1, 2, 3, 4 and 5;

5 R^4 is hydrogen, hydroxy, hydroxy- C_{1-5} alkyl, cyano, cyano- C_{1-5} alkyl or C_{1-5} alkyl;

R^5 is hydrogen or C_{1-5} alkyl;

R^6 is hydrogen, C_{1-5} alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl- C_{1-5} alkyl, hydroxy, C_{1-5} alkoxy, C_{3-8} cycloalkyloxy or $-N(R^{11})R^{12}$;

10 R^7 and R^8 are the same or different, and independently are hydrogen, halogen, C_{1-5} alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl- C_{1-5} alkyl, hydroxy, C_{1-5} alkoxy, C_{3-8} cycloalkyloxy, $-N(R^{11a})R^{12a}$, $-CO_2R^{13}$, cyano, nitro, C_{1-5} alkylthio, trifluoromethyl or trifluoromethoxy; or R^7 and R^8 are taken together to form $-CH_2-CH_2-CH_2-CH_2-$ or $-CH=CH-CH=CH-$;

15 R^9 is C_{1-24} acyl, C_{1-10} alkoxycarbonyl, aryl- C_{1-5} alkyloxycarbonyl, $-CO-O-CHR^{14}-O-CO-R^{15}$, $-P(=O)(OR^{14a})OR^{15a}$, $-CO-(CH_2)_p-(CHR^{16})_q-NR^{17}R^{18}$, arylcarbonyl or heteroarylcarbonyl, wherein each said acyl, aryl and heteroaryl is unsubstituted or substituted with C_{1-5} alkoxy, and C_{1-24} acyl optionally includes one to six double bonds;

R^{10} is hydrogen, C_{1-5} alkyl, halogen, cyano or $-CO_2R^{19}$;

20 Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from the group consisting of halogen, C_{1-5} alkyl, C_{3-8} cycloalkyl, C_{2-5} alkenyl, C_{2-5} alkynyl, C_{1-5} alkoxy, C_{1-5} alkylthio, C_{1-5} alkylsulfinyl, C_{1-5} alkylsulfonyl, cyano, nitro, hydroxy, $-CO_2R^{19a}$, $-C(=O)R^{19a}$, $-CONR^{11b}R^{12b}$, $-OC(=O)R^{19a}$, $-NR^{11b}CO_2R^{19a}$, $-S(O)NR^{11b}R^{12b}$, hydroxy- C_{2-5} alkylamino- C_{2-5} alkoxy, trifluoromethyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy, methylenedioxy, ethylenedioxy and $-N(R^{20})R^{21}$;

R^{11} and R^{12} are the same or different, and independently are hydrogen,

C_{1-5} alkyl, C_{3-8} cycloalkyl or C_{3-8} cycloalkyl- C_{1-5} alkyl;

R^{11a} and R^{12a} are the same or different, and independently are hydrogen,

C_{1-5} alkyl, C_{3-8} cycloalkyl or C_{3-8} cycloalkyl- C_{1-5} alkyl;

R^{11b} and R^{12b} are the same or different, and independently are hydrogen,

C_{1-5} alkyl, C_{3-8} cycloalkyl or C_{3-8} cycloalkyl- C_{1-5} alkyl;

5 R^{13} is hydrogen, C_{1-5} alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl- C_{1-5} alkyl, C_{1-5} alkoxy-

C_{1-5} alkyl, C_{3-8} cycloalkyloxy- C_{1-5} alkyl or phenyl;

R^{14} and R^{15} are the same or different, and independently are hydrogen,

C_{1-5} alkyl or aryl- C_{1-5} alkyl;

R^{14a} and R^{15a} are the same or different, and independently are hydrogen,

10 C_{1-5} alkyl or aryl- C_{1-5} alkyl;

R^{16} is hydrogen, C_{1-5} alkyl, aryl, heteroaryl, aryl- C_{1-5} alkyl, heteroaryl- C_{1-5} alkyl,

hydroxy- C_{1-5} alkyl, hydroxycarbonyl- C_{1-5} alkyl, hydroxyphenyl- C_{1-5} alkyl, C_{1-5} alkoxy-

C_{1-5} alkyl, amino- C_{1-5} alkyl, guanidino- C_{1-5} alkyl, mercapto- C_{1-5} alkyl, C_{1-5} alkylthio-

C_{1-5} alkyl or aminocarbonyl- C_{1-5} alkyl;

15 R^{17} and R^{18} are the same or different, and independently are hydrogen,

C_{1-5} alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl- C_{1-5} alkyl, C_{1-10} acyl, C_{1-10} alkoxycarbonyl and aryl- C_{1-5} alkyloxycarbonyl,

or R^{16} and R^{17} are taken together to form $-CH_2-$, $-CH_2CH_2-$, $-CH_2CH_2CH_2-$

or $-CH_2CH_2CH_2CH_2-$;

20 p is an integer selected from 0, 1, 2, 3, 4 and 5;

q is 0 or 1;

R^{19} is hydrogen or C_{1-5} alkyl;

R^{19a} is hydrogen or C_{1-5} alkyl;

r is 1 or 2;

25 R^{20} and R^{21} are the same or different, and independently are hydrogen or

C_{1-5} alkyl). More preferable are compounds represented by the formula [VII] in which Y is N . More preferable are compounds represented by the formula [VII] in which Y is N ;

m is an integer selected from 1, 2, 3, 4 and 5; n is 0; R^1 , R^2 , R^4 and R^5 are hydrogen.

More preferable are compounds represented by the formula [VII] in which the cyclic amino group is a 4- to 7-membered saturated cyclic amine; m is an integer selected from 1, 2, 3, 4 and 5; n is 0; Y is N; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or C₁₋₅alkyl; Ar is phenyl or

5 pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{20})R^{21}$ (wherein R²⁰ and R²¹ are the same or different, and independently are hydrogen or C₁₋₃alkyl).

More preferable are compounds represented by the formula [VII] in which the cyclic

10 amino group is a 6-membered saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is 0; Y is N; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or methyl; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of chloro, bromo, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio,

15 trifluoromethyl, trifluoromethoxy and dimethylamino.

Other preferable are compounds represented by the formula [VII] in which Y is CR¹⁰. More preferable are compounds represented by the formula [VII] in which Y is CR¹⁰, m is an integer selected from 1, 2, 3, 4 and 5; n is 0; R¹, R², R⁴ and R⁵ are

20 hydrogen. More preferable are compounds represented by the formula [VII] in which Y is CR¹⁰; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or C₁₋₅alkyl; R¹⁰ is hydrogen or halogen; Ar is phenyl or pyridyl which phenyl or pyridyl is substituted with two or three substituents, which are the same or different, selected from the group

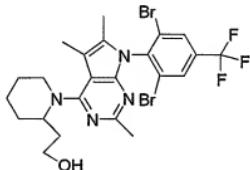
25 consisting of halogen, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{20})R^{21}$ (wherein R²⁰ and R²¹ are the same or different, and independently are hydrogen or C₁₋₃alkyl). More preferable are compounds represented by the formula [VII] in which Y is CR¹⁰; the cyclic amino group is a 6-membered

saturated cyclic amine; m is an integer selected from 1, 2 and 3; n is 0; R¹, R², R⁴ and R⁵ are hydrogen; R⁶ is methyl; R⁷ and R⁸ are the same or different, and independently are hydrogen or methyl; R¹⁰ is hydrogen; Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of chloro, bromo, C₁-alkyl, C₁-alkoxy, C₁-alkylthio, trifluoromethyl, trifluoromethoxy and dimethylamino.

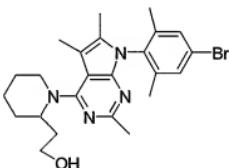
Especially preferable compounds of the present invention are:

2-{1-[7-(2,6-dibromo-4-trifluoromethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-2-yl}-ethanol,

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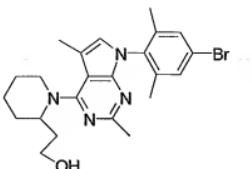
15 2-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-2-yl}-ethanol,



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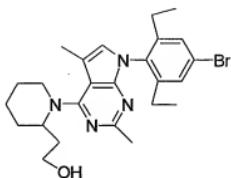
2-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-2-yl}-ethanol,

25

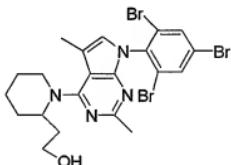


2-{1-[7-(4-bromo-2,6-diethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-2-yl}-ethanol,

5



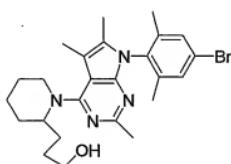
2-{1-[2,5-dimethyl-7-(2,4,6-tribromo-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-10 piperidin-2-yl}-ethanol,



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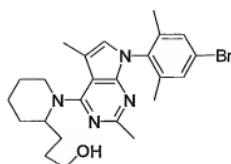
3-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-2-yl}-propan-1-ol,

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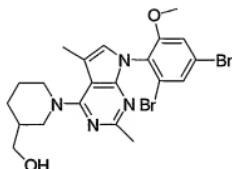
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3-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-2-yl}-propan-1-ol,



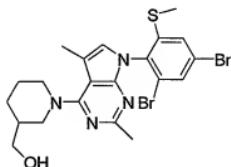
{1-[7-(2,4-dibromo-6-methoxy-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-methanol,

5



{1-[7-(2,4-dibromo-6-methylsulfanyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-methanol,

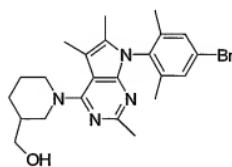
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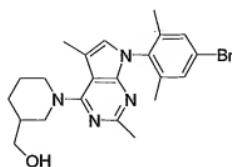
{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-methanol,

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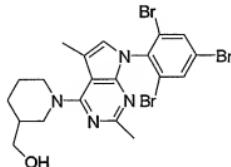


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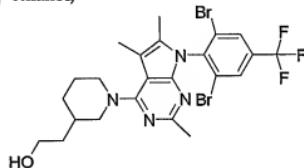
{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-methanol,



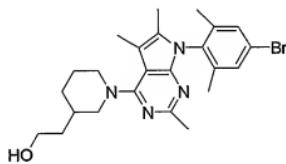
5 {1-[2,5-dimethyl-7-(2,4,6-tribromo-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-methanol,



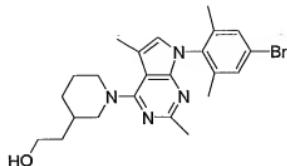
10 2-{1-[7-(2,6-dibromo-4-trifluoromethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-ethanol,



15 20 2-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-ethanol,

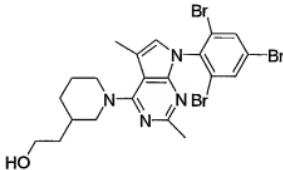


25 2-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-ethanol,



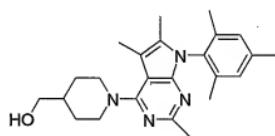
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2-{1-[2,5-dimethyl-7-(2,4,6-tribromo-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-ethanol,

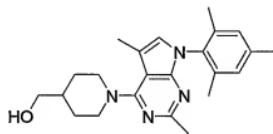


{1-[2,5,6-trimethyl-7-(2,4,6-trimethyl-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

10



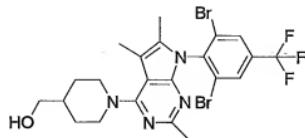
15 {1-[2,5-dimethyl-7-(2,4,6-trimethyl-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,



20

{1-[7-(2,6-dibromo-4-trifluoromethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

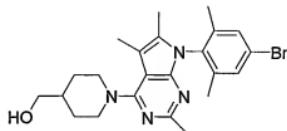
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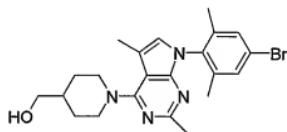
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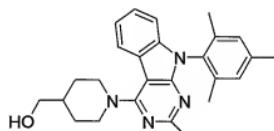
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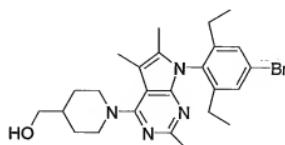
15 {1-[2-methyl-9-(2,4,6-trimethyl-phenyl)-9H-1,3,9-triaza-fluoren-4-yl]-piperidin-4-yl}-methanol,

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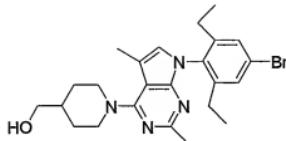


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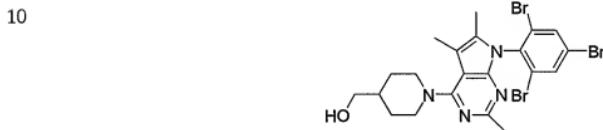
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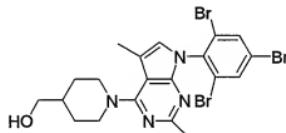
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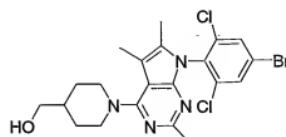
{1-[2,5,6-trimethyl-7-(2,4,6-tribromo-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,



15 {1-[2,5-dimethyl-7-(2,4,6-tribromo-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

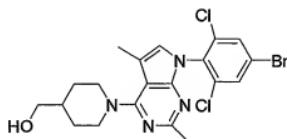


{1-[7-(4-bromo-2,6-dichloro-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,



{1-[7-(4-bromo-2,6-dichloro-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

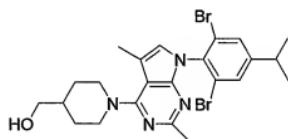
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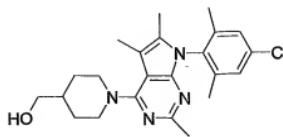
15 {1-[7-(2,6-dibromo-4-isopropyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

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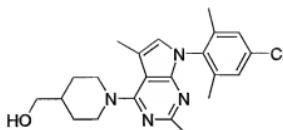
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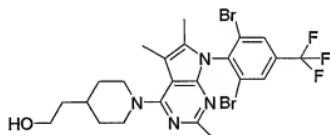
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piperidin-4-yl}-methanol,



2-(1-[7-(2,6-dibromo-4-trifluoromethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl)-ethanol,

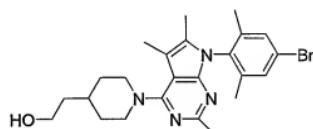
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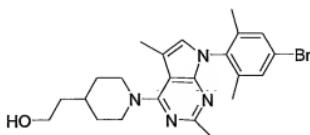
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20



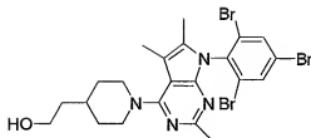
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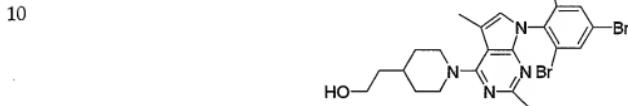


2-(1-[2,5,6-trimethyl-7-(2,4,6-tribromo-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-

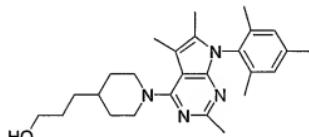
5 piperidin-4-yl}-ethanol,



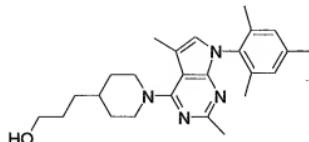
2-(1-[2,5-dimethyl-7-(2,4,6-tribromo-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-ethanol,



15 3-(1-[2,5,6-trimethyl-7-(2,4,6-trimethyl-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-propan-1-ol,



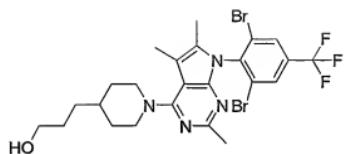
3-(1-[2,5-dimethyl-7-(2,4,6-trimethyl-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl)-propan-1-ol,



3-(1-[7-(2,6-dibromo-4-trifluoromethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-

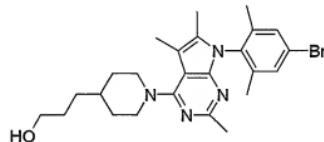
d]pyrimidin-4-yl]-piperidin-4-yl}-propan-1-ol,

5

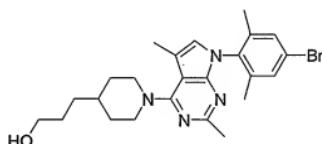


3-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-propan-1-ol,

10



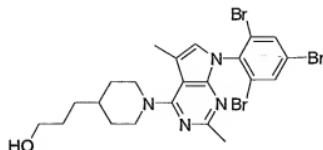
15 3-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-propan-1-ol,



20

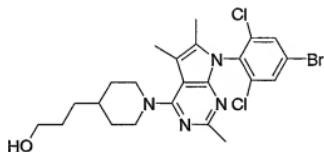
3-{1-[2,5-dimethyl-7-(2,4,6-tribromo-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-propan-1-ol,

25



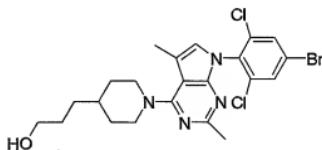
3-{1-[7-(4-bromo-2,6-dichloro-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-propan-1-ol,

5



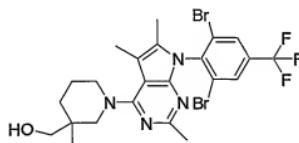
3-{1-[7-(4-bromo-2,6-dichloro-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-propan-1-ol,

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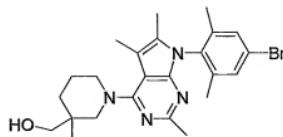
15 {1-[7-(2,6-dibromo-4-trifluoromethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-3-methyl-piperidin-3-yl}-methanol,

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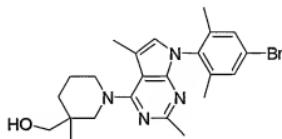
{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-3-methyl-piperidin-3-yl}-methanol,

25



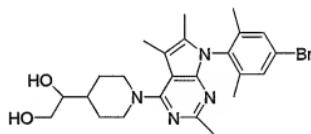
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5



1-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-ethane-1,2-diol,

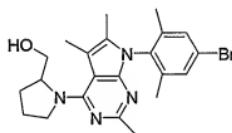
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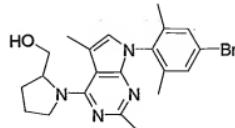
{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrrolidin-2-yl}-methanol,

20



{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrrolidin-2-yl}-methanol,

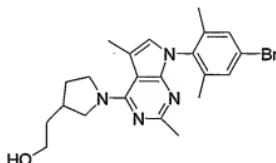
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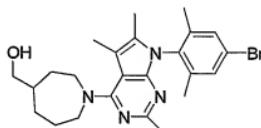
2-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrrolidin-3-yl}-ethanol,

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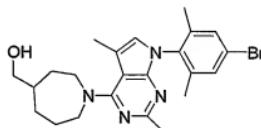


{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-azepan-4-yl}-methanol,

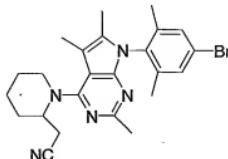
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15 {1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-azepan-4-yl}-methanol,



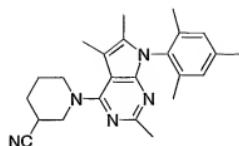
20 {1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-2-yl}-acetonitrile,



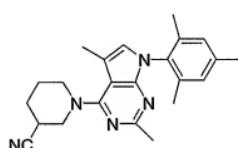
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1-[2,5,6-trimethyl-7-(2,4,6-trimethyl-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidine-3-carbonitrile,

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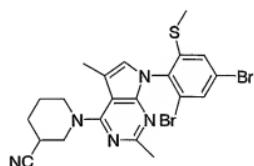


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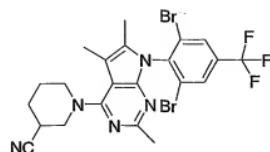


15 1-[7-(2,4-dibromo-6-methylsulfanyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidine-3-carbonitrile,

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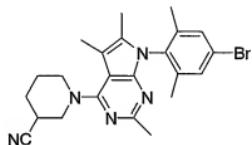


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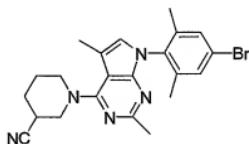
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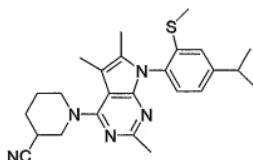
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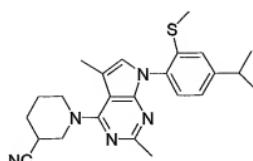
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1-[7-(4-isopropyl-2-methylsulfanyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidine-3-carbonitrile,



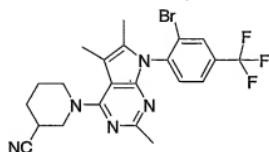
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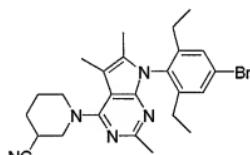
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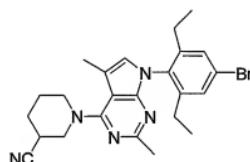
1-[7-(4-bromo-2,6-diethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-10 piperidine-3-carbonitrile,

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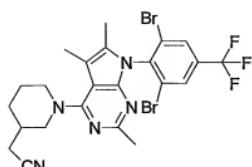
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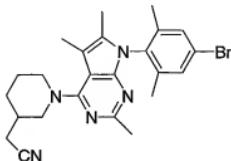


{1-[7-(2,6-dibromo-4-trifluoromethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-acetonitrile,

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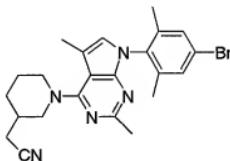


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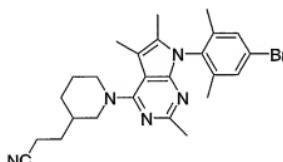


{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-acetonitrile,

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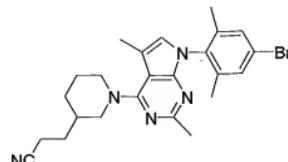


15 3-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-3-yl}-propionitrile,



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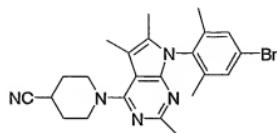
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1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidine-4-carbonitrile,

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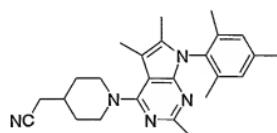


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1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidine-4-carbonitrile,

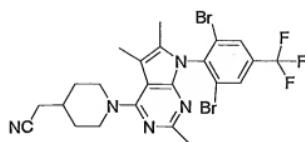
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15 {1-[2,5,6-trimethyl-7-(2,4,6-trimethyl-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,



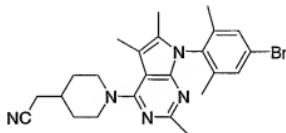
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{1-[7-(2,6-dibromo-4-trifluoromethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,



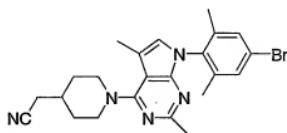
46

{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,

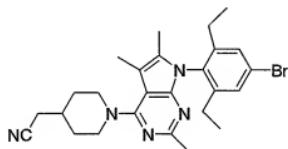


{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,

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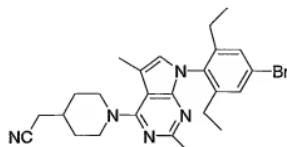


15 {1-[7-(4-bromo-2,6-diethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,

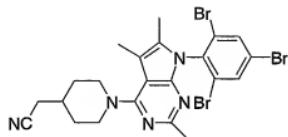


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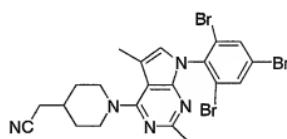


{1-[2,5,6-trimethyl-7-(2,4,6-tribromo-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,



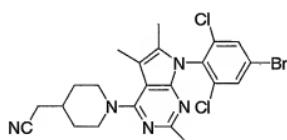
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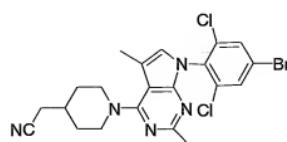
15 {1-[7-(4-bromo-2,6-dichloro-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,

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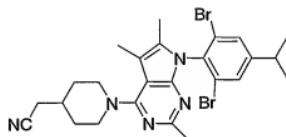
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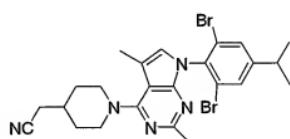
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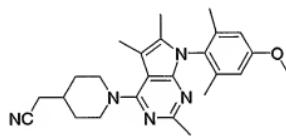


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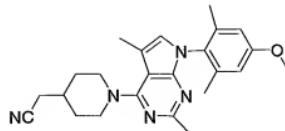


15 {1-[7-(4-methoxy-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,



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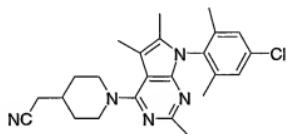
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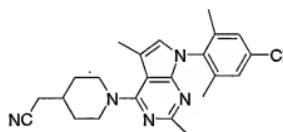
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{1-[7-(4-chloro-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,

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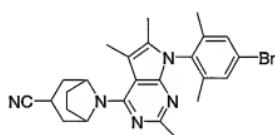


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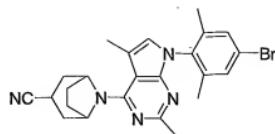


15 8-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-8-aza-bicyclo[3.2.1]octane-3-carbonitrile,

20

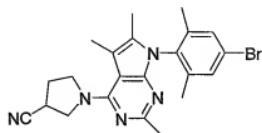


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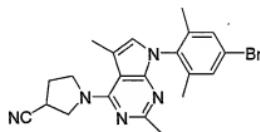
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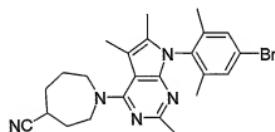


1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-pyrrolidine-3-carbonitrile,

10



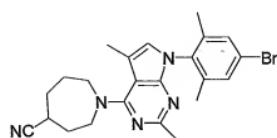
15 1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-azepane-4-carbonitrile,



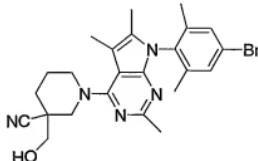
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1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-azepane-4-carbonitrile,

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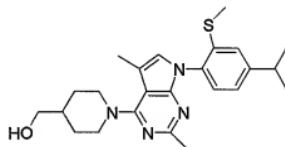


1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-3-hydroxymethyl-piperidine-3-carbonitrile,

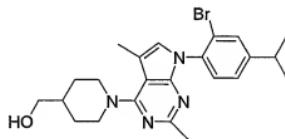


{1-[7-(4-isopropyl-2-methylsulfanyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

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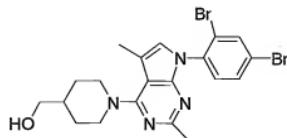


15 {1-[7-(2-bromo-4-isopropyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,



{1-[7-(2,4-dibromo-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

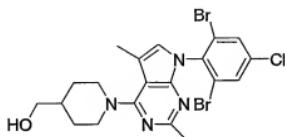
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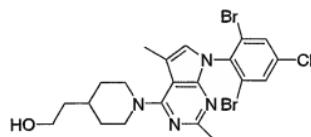
{1-[7-(2,6-dibromo-4-chloro-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

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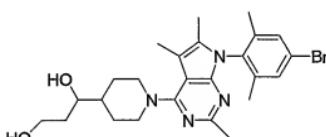
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15

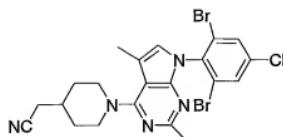
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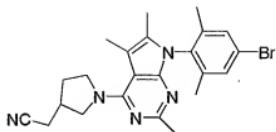
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{1-[7-(2,6-dibromo-4-chloro-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,

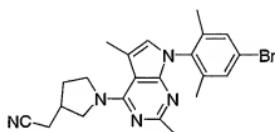


{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-

yl]-pyrrolidin-3-yl}-acetonitrile,

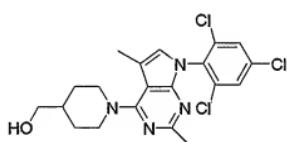


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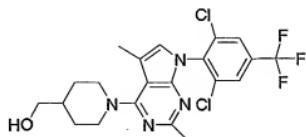


{1-[2,5-dimethyl-7-(2,4,6-trichloro-phenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

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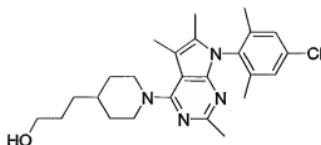


20 {1-[7-(2,6-dichloro-4-trifluoromethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,



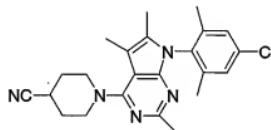
3-{1-[7-(4-chloro-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-propan-1-ol,

54



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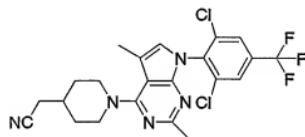
1-[7-(4-chloro-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidine-4-carbonitrile,



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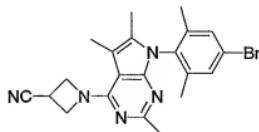
{1-[7-(2,6-Dichloro-4-trifluoromethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,

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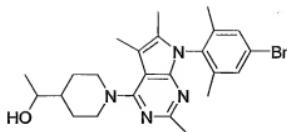
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1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-azetidine-3-carbonitrile,

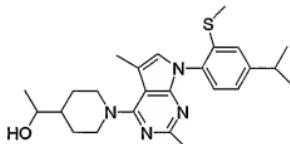


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1-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-piperidin-4-yl}-ethanol,

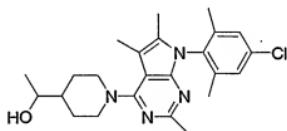


5 1-{7-(4-isopropyl-2-methylsulfanyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl}-ethanol,



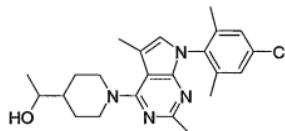
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1-{7-(4-chloro-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl}-ethanol,

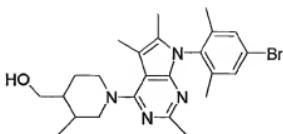


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20 1-{7-(4-chloro-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl}-ethanol,

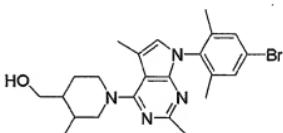


25 1-{7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl}-3-methyl-piperidin-4-yl}-methanol,



5

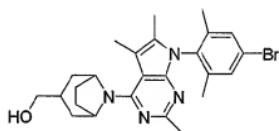
{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-3-methyl-piperidin-4-yl}-methanol,



10

{8-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-8-aza-bicyclo[3.2.1]oct-3-yl}-methanol,

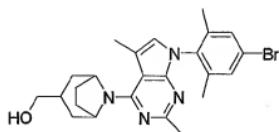
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{8-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-8-aza-bicyclo[3.2.1]oct-3-yl}-methanol,

25



{8-[7-(4-bromo-2,6-dimethyl-phenyl)-2,5,6-trimethyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-8-aza-bicyclo[3.2.1]oct-3-yl}-acetonitrile,

